

4/25/05

L7 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
AB The invention provides synthesis and use of polyamines in pharmacol., cosmetic or agricultural applications. The polyamines induce antizyme production which in turn down regulates both the production of polyamines by ornithine decarboxylase (ODC) and the transport of polyamines by its corresponding polyamine transporter. These compds. will preferably enter the cell independent of the polyamine transporter. As drugs, these compds. are used to treat any disease associated with cellular proliferation including but not limited to cancer. As such, they will be useful as drugs to treat diseases where components of the immune system undergo undesired proliferation. The compds. will also be effective for the treatment of unwanted proliferation of hair or skin. The invention also identifies key structural elements expected to comprise the antizyme inducing motifs of small mols. related to polyamines.

AN 2004:252193 CAPLUS

DN 140:264534

TI Polyamine analogs that activate antizyme framshifting

IN Burns, Mark R.; Graminski, Gerard F.

PA Mediquest Therapeutics, Inc., USA

SO U.S. Pat. Appl. Publ., 29 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004058954	A1	20040325	US 2002-251819	20020923
	US 2004209926	A1	20041021	US 2004-810649	20040329
				US 2002-251819	A2 20020923

PATENT FAMILY INFORMATION:

FAN 2004:878166

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004209926	A1	20041021	US 2004-810649	20040329
				US 2002-251819	A2 20020923
	US 2004058954	A1	20040325	US 2002-251819	20020923

OS MARPAT 140:264534

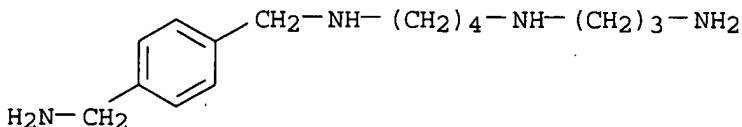
IT **673461-33-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polyamine analogs that activate antizyme framshifting)

RN 673461-33-1 CAPLUS

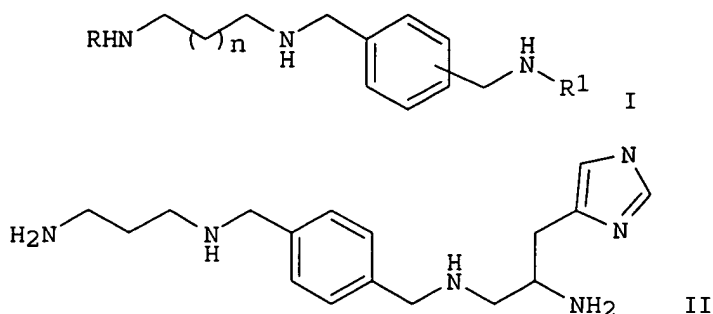
CN 1,4-Benzenedimethanamine, N-[4-[(3-aminopropyl)amino]butyl]- (9CI) (CA INDEX NAME)



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GI



AB Novel polyamines, their synthesis and use in pharmacol., cosmetic or agricultural applications are provided. Novel polyamines having the structure (I) [wherein, $n = 0-8$; the aminomethyl functionality can be ortho, meta or para substituted; $R = \text{H, Me, Et, 2-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 7-aminoheptyl, 8-aminooctyl, N-methyl-2-aminoethyl, N-methyl-3-aminopropyl, N-methyl-4-aminobutyl, N-methyl-5-aminopentyl, N-methyl-6-aminohexyl, N-methyl-7-aminoheptyl, N-methyl-8-aminooctyl, N-ethyl-2-aminoethyl, N-ethyl-3-aminopropyl, N-ethyl-4-aminobutyl, N-ethyl-5-aminopentyl, N-ethyl-6-aminohexyl, N-ethyl-7-aminoheptyl, N-ethyl-8-aminooctyl}$; $R_1 = \text{H, straight or branched C1-20 (un)saturated aliphatic, aliphatic amine (except for propylamine when } R = \text{H, } n=1 \text{ and the aminomethyl functionality is para substituted), alicyclic group, single or multi-ring aromatic group, single or multi-ring aryl substituted aliphatic group, aliphatic-substituted single or multi-ring aromatic group, single or multi-ring heterocyclyl, single or multi-ring heterocyclic-substituted aliphatic, aliphatic-substituted aromatic group, halogenated forms thereof}$; wherein said polyamine is a non-sym. xylene] are prepared Also provided are the use of the polyamines in pharmacol., cosmetic or agricultural applications. The polyamines induce antizyme production which in turn down regulates both the production of polyamines

by ornithine decarboxylase (ODC) and the transport of polyamines by its corresponding polyamine transporter. These compds. will preferably enter the cell independent of the polyamine transporter. As drugs, these compds. are used as fungal, bacterial, viral and parasitic agents or to treat any disease associated with cellular proliferation including cancer, mucositis, asthma, inflammation, autoimmune disease, psoriasis, restenosis, rheumatoid arthritis, scleroderma, systemic and cutaneous lupus erythematosus, Type I insulin dependent diabetes, tissue transplantation, osteoporosis, hyperparathyroidism, treatment of peptic ulcer, glaucoma, Alzheimer's disease, Crohn's disease, and other inflammatory bowel diseases. A series of compds. I were screened for their ability to induce frameshifting using the dual luciferase reporter assay in HEK-293 cells. Some of these compds. induced frameshifting substantially better than spermidine. For example, compound (II) showed the percent relative frameshifting value (% RF) of 150% compared to 25 μM spermidine.

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AN 2004:878166 CAPLUS
DN 141:366226
TI Preparation of polyamine analogs that activate antizyme frameshifting
IN Burns, Mark R.; Graminski, Gerard F.
PA Mediquest Therapeutics, Inc., USA
SO U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 251,819.
CODEN: USXXCO

DT Patent
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004209926	A1	20041021	US 2004-810649	20040329
				US 2002-251819	A2 20020923
	US 2004058954	A1	20040325	US 2002-251819	20020923

PATENT FAMILY INFORMATION:

FAN 2004:252193

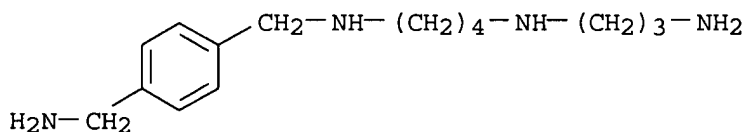
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004058954	A1	20040325	US 2002-251819	20020923
	US 2004209926	A1	20041021	US 2004-810649	20040329
				US 2002-251819	A2 20020923

OS MARPAT 141:366226

IT **673461-33-1P**, 1-Aminomethyl-4-(10-amino-2,7-diazadecyl)benzene
778831-92-8P, 1-Aminomethyl-4-(11-amino-2,7-diazaundecyl)benzene
778831-98-4P, 1-Aminomethyl-4-(12-amino-2,7-diazadodecyl)benzene
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of polyamine analogs as activating agents for antizyme
frameshifting to treat diseases associated with cellular proliferation or
as antifungal, antibacterial, antiviral and antiparasitic agents)

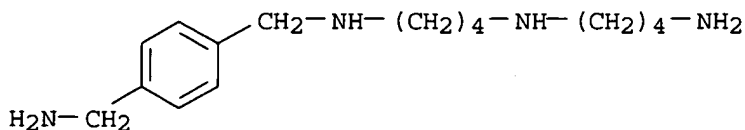
RN 673461-33-1 CAPLUS

CN 1,4-Benzenedimethanamine, N-[4-[(3-aminopropyl)amino]butyl]- (9CI) (CA
INDEX NAME)



RN 778831-92-8 CAPLUS

CN 1,4-Benzenedimethanamine, N-[4-[(4-aminobutyl)amino]butyl]- (9CI) (CA
INDEX NAME)



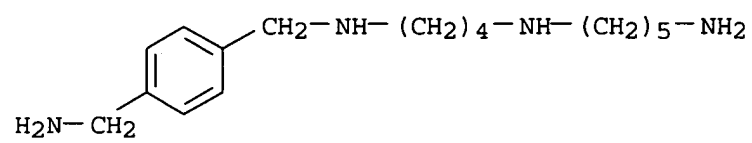
RN 778831-98-4 CAPLUS

CN 1,4-Benzenedimethanamine, N-[4-[(5-aminopentyl)amino]butyl]- (9CI) (CA

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INDEX NAME)



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